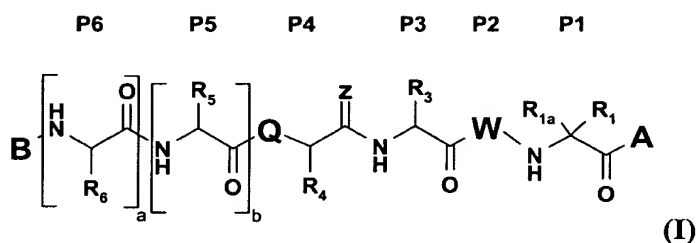


# Listing of Claims

1. (presently amended) A compound of formula I or a racemate, a diastereoisomer or an optical isomer thereof:



wherein Q is CH<sub>2</sub> or N-Y wherein Y is H or C<sub>1-6</sub> alkyl;

a) when Q is CH<sub>2</sub>, a is 0, b is 0, and B is an amide derivative of formula R<sub>11a</sub>N(R<sub>11b</sub>)-C(O)- wherein R<sub>11a</sub> is H; C<sub>1-10</sub> alkyl; C<sub>6</sub> aryl; C<sub>7-10</sub> alkylaryl; C<sub>3-7</sub> cycloalkyl or C<sub>4-8</sub> (alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C<sub>1-6</sub> alkyl;

and R<sub>11b</sub> is C<sub>1-6</sub> alkyl substituted with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl; or C<sub>7-16</sub> aralkyl substituted on the aromatic portion with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

or R<sub>11a</sub> and R<sub>11b</sub> are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C<sub>1-6</sub> alkoxy) carbonyl;

or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, and

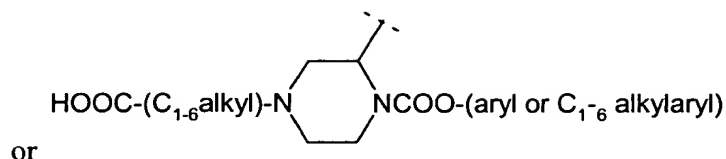
B is an acyl derivative of formula R<sub>11</sub>-C(O)- or a sulfonyl of formula R<sub>11</sub>-SO<sub>2</sub> wherein

R<sub>11</sub> is (i) C<sub>1-10</sub> alkyl optionally substituted with carboxyl or C<sub>1-6</sub> alkanoyloxy; C<sub>1-6</sub> alkoxy; or carboxyl substituted with 1 to 3 C<sub>1-6</sub> alkyl substituents;

(ii) C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> alkylcycloalkyl, both optionally substituted with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

(iii) C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, or amino optionally substituted with C<sub>1-6</sub> alkyl; or

(iv) Het optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, amino optionally substituted with C<sub>1-6</sub> alkyl, or amido optionally substituted with C<sub>1-6</sub> alkyl,



R<sub>6</sub>, when present, is C<sub>1-6</sub> alkyl substituted with carboxyl;

R<sub>5</sub>, when present, is C<sub>1-6</sub> alkyl optionally substituted with carboxyl;

and

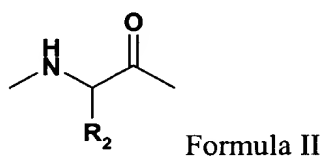
c) when Q is either CH<sub>2</sub> or N-Y, then

R<sub>4</sub> is C<sub>1-10</sub> alkyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkylcycloalkyl);

z is oxo or thioxo;

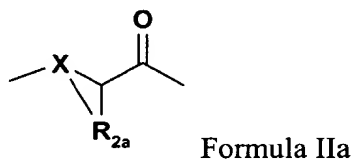
R<sub>3</sub> is C<sub>1-10</sub> alkyl optionally substituted with carboxyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkylcycloalkyl);

W is a group of formula II:



wherein R<sub>2</sub> is C<sub>1-10</sub> alkyl or C<sub>3-10</sub> cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl; or

W is a group of formula IIa:



wherein X is CH or N; and

R<sub>2a</sub> is a divalent C<sub>3-4</sub> alkylene which together with X and the carbon atom to which X and R<sub>2a</sub> are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH<sub>2</sub>; carboxyl; R<sub>12</sub>; CH<sub>2</sub>-R<sub>12</sub>, OR<sub>12</sub>, C(O)OR<sub>12</sub>, SR<sub>12</sub>, NHR<sub>12</sub> or NR<sub>12</sub>R<sub>12a</sub>;

wherein R<sub>12</sub> and R<sub>12a</sub> are independently a saturated or unsaturated C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkyl cycloalkyl) being optionally mono-, di- or tri-substituted with R<sub>15</sub>, or each of R<sub>12</sub> and R<sub>12a</sub> is a C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl optionally mono-, di- or tri-substituted with R<sub>15</sub>, or each of R<sub>12</sub> and R<sub>12a</sub> is Het or (lower alkyl)-Het

optionally mono-, di- or tri-substituted with  $R_{15}$ ,

wherein each  $R_{15}$  is independently  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino optionally mono- or di-substituted with  $C_{1-6}$  alkyl; sulfonyl;  $NO_2$ ; OH; SH; halo; haloalkyl; amido optionally mono-substituted with  $C_{1-6}$  alkyl,  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl);  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with  $R_{16}$ ;

wherein  $R_{16}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino optionally mono- or di-substituted with  $C_{1-6}$  alkyl; sulfonyl;  $NO_2$ ; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

or X is CH or N; and  $R_{2a}$  is a divalent  $C_{3-4}$  alkylene which together with X and the carbon atom to which X and  $R_{2a}$  are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with  $OR_{12a}$ , wherein  $R_{12a}$  is  $C_{7-16}$  aralkyl;

$R_{1a}$  is hydrogen, and  $R_1$  is the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or

$R_{1a}$  and  $R_1$  together form a 3- to 6-membered ring optionally substituted with  $R_{14}$  wherein  $R_{14}$  is  $C_{1-6}$  alkyl,  $C_{3-5}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_6$  aryl or  $C_{7-10}$  aralkyl all optionally substituted with halo; and

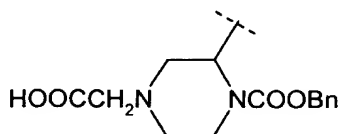
A is hydroxy; or  $C_{1-6}$  alkylamino, di( $C_{1-6}$  alkyl)amino or phenyl- $C_{1-6}$  alkylamino;

wherein Het is a five-, six-, or seven-membered saturated or unsaturated, ~~including~~ aromatic or non-aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, which heterocycle is optionally fused to a benzene ring;

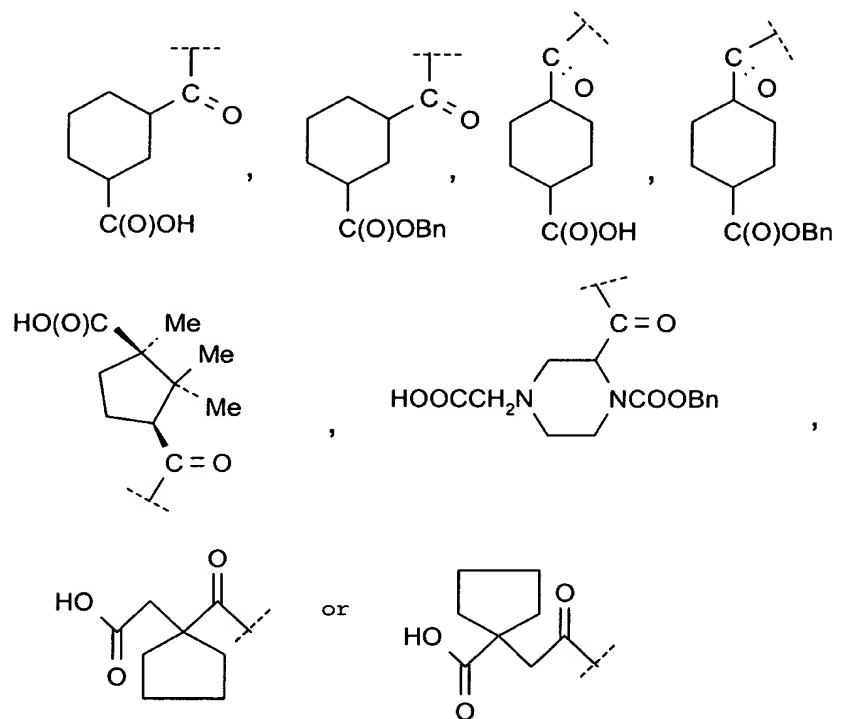
or a non-toxic salt or ester thereof.

2. (previously amended) The compound of formula I according to claim 1, wherein B is an acyl derivative of formula  $R_{11}C(O)-$  wherein  $R_{11}$  is  $C_{1-6}$  alkyl optionally

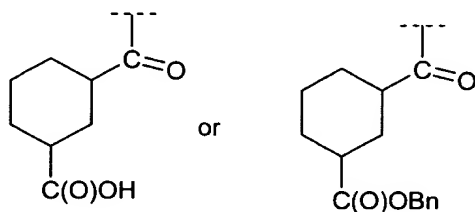
substituted with carboxyl, C<sub>1-6</sub> alkanoyloxy or C<sub>1-6</sub> alkoxy;  
 C<sub>3-7</sub> cycloalkyl optionally substituted with carboxyl, MeOC(O), EtOC(O) or BnOC(O);  
 3-carboxypropionyl (DAD); 4-carboxybutyryl (DAE); or



3. (Original) The compound of formula I according to claim 2, wherein B is acetyl, 3-carboxypropionyl (DAD), 4-carboxybutyryl (DAE),

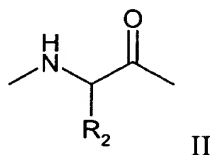


4. (previously amended) The compound of formula I according to claim 3, wherein B is acetyl, DAD, DAE,



5. (Original) The compound of formula I according to claim 4, wherein B is acetyl.
6. (Original) The compound of formula I according to claim 1, wherein R<sub>6</sub>, when present, is the side chain of Asp or Glu.
7. (Original) The compound of formula I according to claim 6, wherein R<sub>6</sub>, when present, is the side chain of Asp.
8. (Original) The compound of formula I according to claim 7, wherein a is 0 and then R<sub>6</sub> is absent.
9. (presently amended) The compound of formula I according to claim 1, wherein R<sub>5</sub>, when present, is the side chain of an amino acid selected from the group consisting of: ~~D-Asp, L-Asp, D-Glu, L-Glu, D-Val, L-Val, D-tert-butylglycine (Tbg), and L-Tbg~~ aspartic acid, glutamic acid, valine and tert-butylglycine, and wherein the carbon bearing R<sub>5</sub> is in the D or L configuration.
10. (presently amended) The compound of formula I according to claim 9, wherein R<sub>5</sub>, when present, is the side chain of ~~D-Asp, D-Val, or D-Glu~~ aspartic acid, valine or glutamic acid, and wherein the carbon bearing R<sub>5</sub> is in the D configuration.
11. (presently amended) The compound of formula I according to claim 10, wherein R<sub>5</sub>, when present, is the side chain of ~~D-Glu~~ glutamic acid wherein the carbon bearing R<sub>5</sub> is in the D configuration.
12. (Original) The compound of formula I according to claim 1, wherein a is 0 and b is 0, and then both R<sub>6</sub> and R<sub>5</sub> are absent.
13. (Original) The compound of formula I according to claim 1, wherein R<sub>4</sub> is isopropyl, cyclohexyl, 1-methylpropyl, 2-methylpropyl or tert-butyl.

14. (Original) The compound of formula I according to claim 13, wherein  $R_4$  is cyclohexyl or 1-methylpropyl.
15. (Original) The compound of formula I according to claim 14, wherein  $R_4$  is cyclohexyl.
16. (Original) The compound of formula I according to claim 1, wherein  $z$  is oxo.
17. (Original) The compound of formula I according to claim 1, wherein  $R_3$  is the side chain of an amino acid selected from the group consisting of: Ile, allo-Ile, Chg, cyclohexylalanine (Cha), Val, Tbg or Glu.
18. (Original) The compound of formula I according to claim 17, wherein  $R_3$  is the side chain of Val, Tbg or Chg.
19. (Original) The compound of formula I according to claim 18, wherein  $R_3$  is the side chain of Val.
20. (Original) The compound of formula I according to claim 1, wherein  $W$  is a group of formula II:

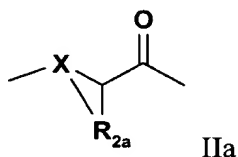


wherein  $R_2$  is  $C_{1-8}$  alkyl;  $C_{1-8}$  alkyl substituted with carboxyl,  $C_{1-6}$  alkoxy carbonyl, benzyloxy carbonyl or benzylaminocarbonyl;  $C_{3-7}$  cycloalkyl or benzyl.

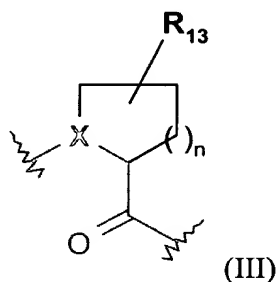
21. (Original) The compound of formula I according to claim 20, wherein  $R_2$  is the side of chain of aminobutyric acid (Abu), Leu, Phe, Cha, Val, Ala, Asp, Glu, Glu(OBn), or Glu(NHBn).

22. (Original) The compound of formula I according to claim 21, wherein  $R_2$  is the side chain of Asp, Abu or Val.

23. (previously amended) The compound of formula I according to claim 1, wherein W is a group of formula IIa:



wherein X is CH or N, and  $R_{2a}$  is a  $C_3$  or  $C_4$  alkylene that joins X to form a 5- or 6-membered ring of formula III:



$R_{2a}$  being optionally substituted at any position with  $R_{13}$ , wherein X is CH or N; n is 1 or 2, and  $R_{13}$  is S- $R_{12}$  or O- $R_{12}$  wherein  $R_{12}$  is a  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, Het or  $-CH_2-$  Het, all optionally mono-, di- or tri-substituted with  $R_{15}$ ,

wherein  $R_{15}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino; mono- or di-(lower alkyl)amino; amido optionally mono-substituted with  $C_{1-6}$  alkyl,  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, Het or (lower alkyl)-Het;  $NO_2$ ; OH; halo; trifluoromethyl; carboxyl;  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with  $R_{16}$ , and

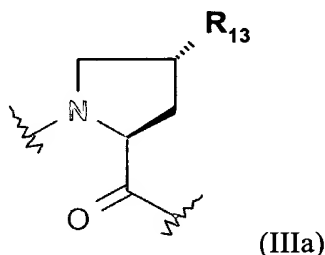
wherein  $R_{16}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide;  $NO_2$ ; OH; halo; trifluoromethyl; or carboxyl.

24. (Original) The compound of formula I according to claim 23, wherein  $R_{2a}$  is

propyl joined to X wherein X is nitrogen to form a proline substituted with  $R_{13}$  as defined in claim 23.

25. (Original) The compound of formula I according to claim 24, wherein  $R_{2a}$  is the side chain of proline substituted at the 3-, 4-, or 5-position with  $R_{13}$ , wherein  $R_{13}$  is as defined in claim 24.

26. (previously amended) The compound of formula I according to claim 25, wherein  $R_{2a}$  is the side chain of proline substituted with  $R_{13}$  at the 4-position with the stereochemistry shown in formula IIIa:



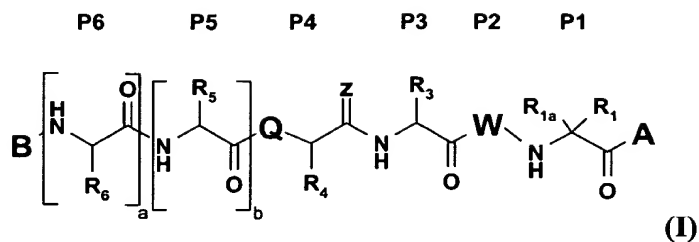
wherein  $R_{13}$  is S- $R_{12}$  or O- $R_{12}$  wherein  $R_{12}$  is a  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl, Het or  $-CH_2$ -Het, all optionally mono-, di- or tri-substituted with  $R_{15}$ ,

wherein  $R_{15}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide;  $C_6$  or  $C_{10}$  aryl, or Het, said aryl or Het being optionally substituted with  $R_{16}$ , and

$R_{16}$  is  $C_{1-6}$  alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; halo; or trifluoromethyl.

27. (previously amended) A compound of formula I :





wherein Q is CH<sub>2</sub> or N-Y wherein Y is H or C<sub>1-6</sub> alkyl;

a) when Q is CH<sub>2</sub>, a is 0, b is 0, and B is an amide derivative of formula R<sub>11a</sub>N(R<sub>11b</sub>)-C(O)- wherein R<sub>11a</sub> is H; C<sub>1-10</sub> alkyl; C<sub>6</sub> aryl; C<sub>7-10</sub> alkylaryl; C<sub>3-7</sub> cycloalkyl or C<sub>4-8</sub> (alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C<sub>1-6</sub> alkyl;

and R<sub>11b</sub> is C<sub>1-6</sub> alkyl substituted with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl; or C<sub>7-16</sub> aralkyl substituted on the aromatic portion with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

or R<sub>11a</sub> and R<sub>11b</sub> are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C<sub>1-6</sub> alkoxy) carbonyl;

or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, and

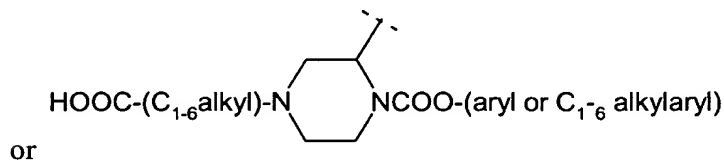
B is an acyl derivative of formula R<sub>11</sub>-C(O)- or a sulfonyl of formula R<sub>11</sub>-SO<sub>2</sub> wherein

R<sub>11</sub> is (i) C<sub>1-10</sub> alkyl optionally substituted with carboxyl or C<sub>1-6</sub> alkanoyloxy; C<sub>1-6</sub> alkoxy; or carboxyl substituted with 1 to 3 C<sub>1-6</sub> alkyl substituents;

(ii) C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> alkylcycloalkyl, both optionally substituted with carboxyl, (C<sub>1-6</sub> alkoxy)carbonyl or phenylmethoxycarbonyl;

(iii) C<sub>6</sub> or C<sub>10</sub> aryl or C<sub>7-16</sub> aralkyl optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, or amino optionally substituted with C<sub>1-6</sub> alkyl; or

(iv) Het optionally substituted with C<sub>1-6</sub> alkyl, hydroxy, amino optionally substituted with C<sub>1-6</sub> alkyl, or amido optionally substituted with C<sub>1-6</sub> alkyl,



R<sub>6</sub>, when present, is C<sub>1-6</sub> alkyl substituted with carboxyl;

R<sub>5</sub>, when present, is C<sub>1-6</sub> alkyl optionally substituted with carboxyl;

and

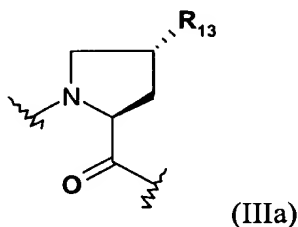
c) when Q is either CH<sub>2</sub> or N-Y, then

R<sub>4</sub> is C<sub>1-10</sub> alkyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub> (alkylcycloalkyl);

z is oxo or thioxo;

R<sub>3</sub> is C<sub>1-10</sub> alkyl optionally substituted with carboxyl, C<sub>3-7</sub> cycloalkyl or C<sub>4-10</sub>  
(alkylcycloalkyl);

W is a group of formula IIIa:



wherein R<sub>13</sub> is o-tolylmethoxy; m-tolylmethoxy; p-tolylmethoxy; (4-tert-butyl)methoxy; (3I-Ph)CH<sub>2</sub>O; (4Br-Ph)O; (2Br-Ph)O; (3Br-Ph)O; (4I-Ph)O; (3Br-Ph)CH<sub>2</sub>O; (3,5-Br<sub>2</sub>-Ph)CH<sub>2</sub>O; or R<sub>13</sub> is OR<sub>12</sub> or SR<sub>12</sub> wherein R<sub>12</sub> is C<sub>6</sub> or C<sub>10</sub> aryl, C<sub>7-16</sub> aralkyl or Het, all optionally substituted with C<sub>1-6</sub> alkyl, C<sub>3-7</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, acetylamido, nitro, CF<sub>3</sub>, NH<sub>2</sub>, OH, SH, halo, carboxyl, carboxy(lower)alkyl or a second aryl or aralkyl;

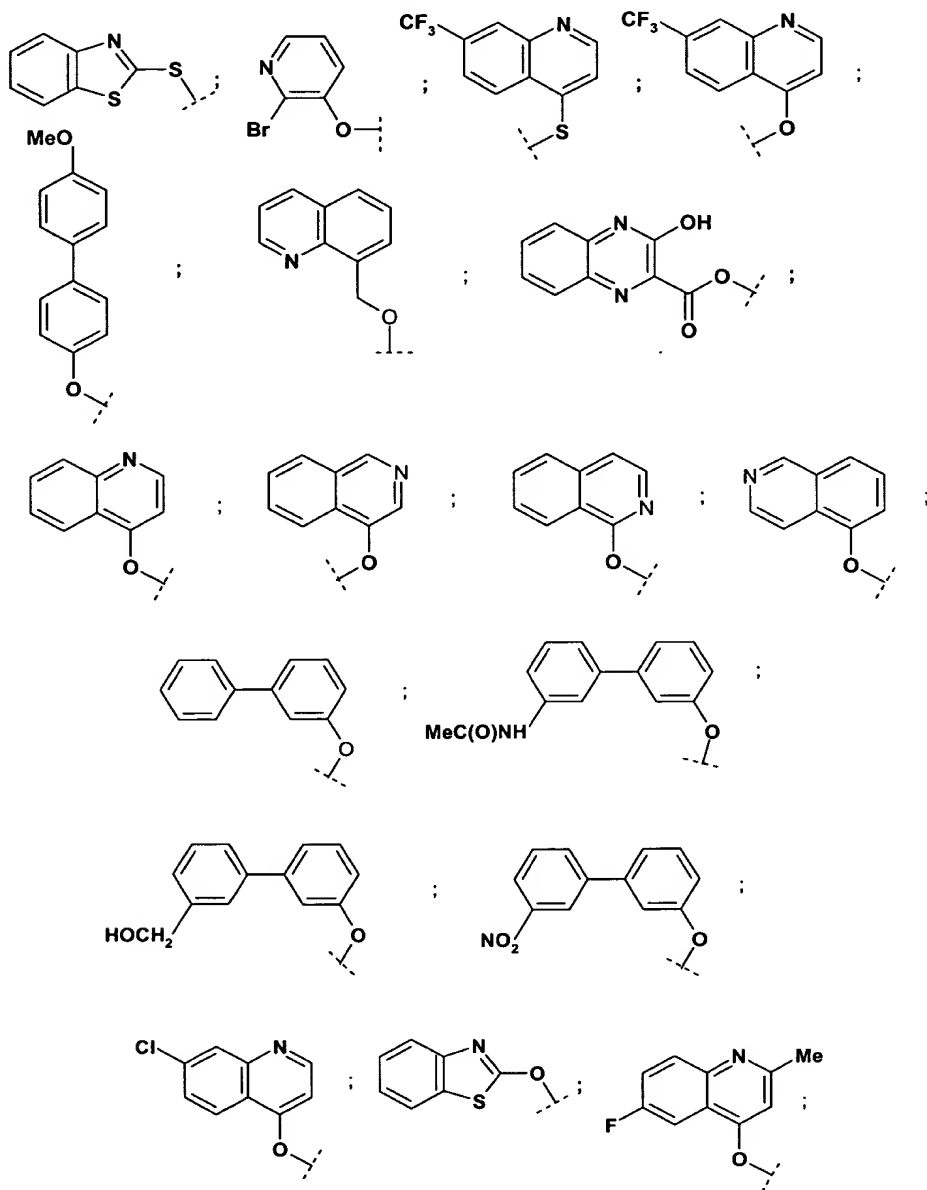
R<sub>1a</sub> is hydrogen, and R<sub>1</sub> is the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or

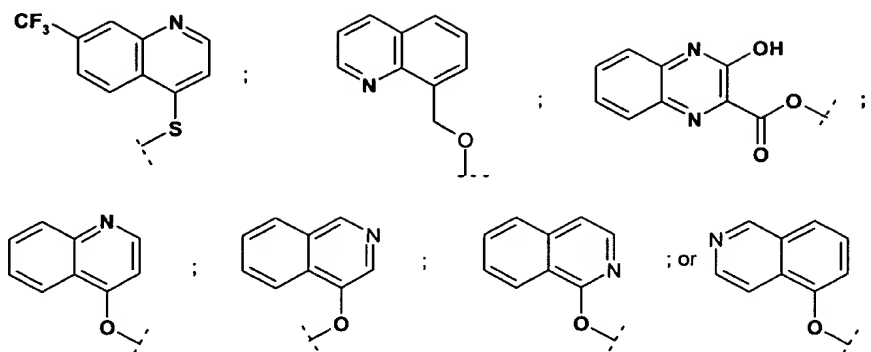
R<sub>1a</sub> and R<sub>1</sub> together form a 3- to 6-membered ring optionally substituted with R<sub>14</sub> wherein R<sub>14</sub> is C<sub>1-6</sub> alkyl, C<sub>3-5</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>6</sub> aryl or C<sub>7-10</sub> aralkyl all optionally substituted with halo; and

A is hydroxy; or C<sub>1-6</sub> alkylamino, di(C<sub>1-6</sub> alkyl)amino or phenyl-C<sub>1-6</sub> alkylamino; wherein Het is a five-, six-, or seven-membered saturated or unsaturated, including aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen,

oxygen and sulfur, which heterocycle is optionally fused to a benzene ring;  
or a non-toxic salt or ester thereof.

28. (Original) The compound of formula I according to claim 27, wherein R<sub>13</sub> is 1-naphthyloxy; 2-naphthyloxy; 1-naphthylmethoxy; 2-naphthylmethoxy;





Claim 29. (cancelled)

30. (previously amended) The compound of formula I according to claim 1, wherein  $R_{1a}$  is hydrogen and  $R_1$  is the side chain of the amino acid selected from the group consisting of: cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva), and allylglycine (AlGly).

31. (Original) The compound of formula I according to claim 30, wherein  $R_{1a}$  is H and  $R_1$  is propyl.

32. (previously amended) The compound of formula I according to claim 1, wherein  $R_{1a}$  and  $R_1$  together form a 3- to 6-membered ring, said ring being optionally substituted with  $R_{14}$ , wherein  $R_{14}$  is methyl, ethyl, propyl, vinyl, allyl, benzyl, phenylethyl or phenylpropyl, each of which is optionally substituted with halo.

33. (previously amended) The compound of formula I according to claim 32, wherein  $R_{1a}$  and  $R_1$  together form a cyclopropyl optionally substituted with  $R_{14}$  as defined in claim 32.

34. (Original) The compound of formula I according to claim 33, wherein  $R_{14}$  is ethyl, propyl, vinyl, bromovinyl or allyl.

35. (Original) The compound of formula I according to claim 34, wherein  $R_{14}$  is ethyl, vinyl or bromovinyl.

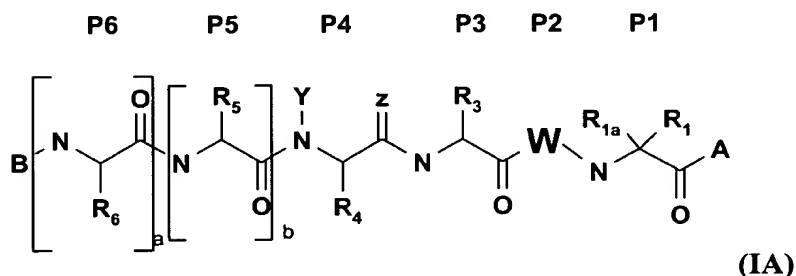
Claim 36 (cancelled)

37. (previously amended) The compound of formula I according to claim 1, wherein A is hydroxy, or  $N(R_{17a})R_{17b}$  wherein  $R_{17a}$  and  $R_{17b}$  are independently H, aryl or  $C_{1-6}$  alkyl optionally substituted with hydroxy or aryl.

38. (Original) The compound of formula I according to claim 37, wherein A is OH, NH-benzyl or NH-CH(Me)Ph.

39. (Original) The compound of formula I according to claim 38, wherein A is OH or NH-CH(Me)-phenyl.

40. (previously amended) A compound of formula (IA) or a racemate, a diastereoisomer or an optical isomer thereof:



wherein Y is H or  $C_{1-6}$  alkyl;

a is 0 or 1;

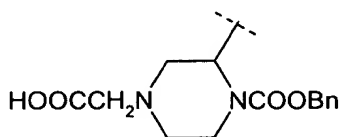
b is 0 or 1;

B is as defined in claim 1, paragraph b);

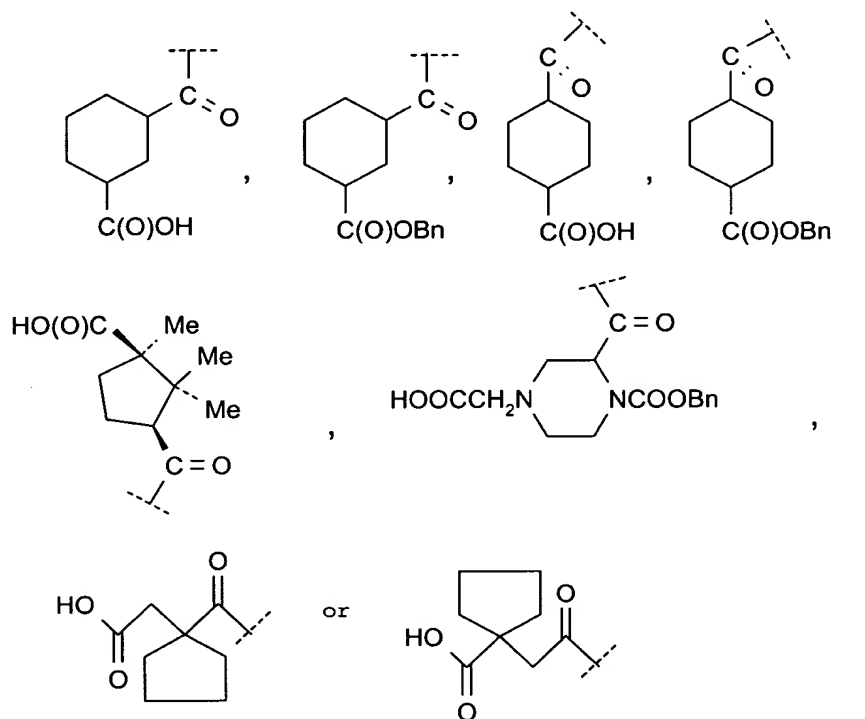
$R_6$ ,  $R_5$ ,  $R_4$ , Z,  $R_3$ , W,  $R_1$ ,  $R_{1a}$  and A are as defined in claim 1.

41. (previously amended) The compound of formula IA according to claim 40, wherein

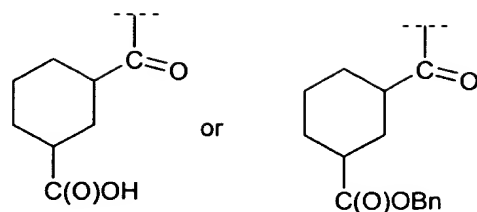
B is an acyl derivative of formula  $R_{11}C(O)-$  wherein  $R_{11}$  is  $C_{1-6}$  alkyl optionally substituted with carboxyl,  $C_{1-6}$  alkanoyloxy or  $C_{1-6}$  alkoxy;  
 $C_{3-7}$  cycloalkyl optionally substituted with carboxyl,  $MeOC(O)$ ,  $EtOC(O)$  or  $BnOC(O)$ ;  
 3-carboxypropionyl (DAD); 4-carboxybutyryl (DAE); or



42. (Original) The compound of formula IA according to claim 41, wherein B is acetyl, 3-carboxypropionyl (DAD), 4-carboxylbutyryl (DAE),

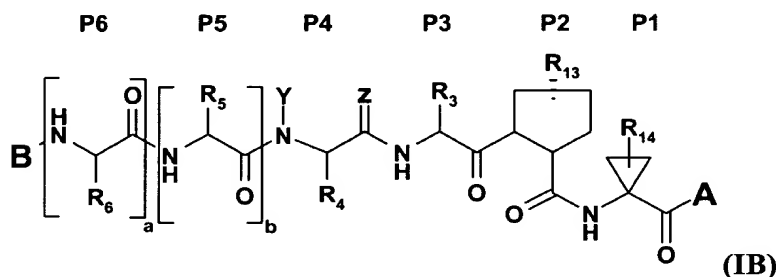


43. (Original) The compound of formula IA according to claim 42, wherein B is acetyl, DAD, DAE,



44. (Original) The compound of formula IA according to claim 43, wherein B is acetyl.

45. (presently amended) A compound of formula IB<sub>1</sub> or a diastereoisomer, ~~an optical isomer, a racemic mixture of diastereoisomers or a racemic mixture of optical isomers or~~ a racemate, a diastereoisomer or an optical isomer thereof:



wherein

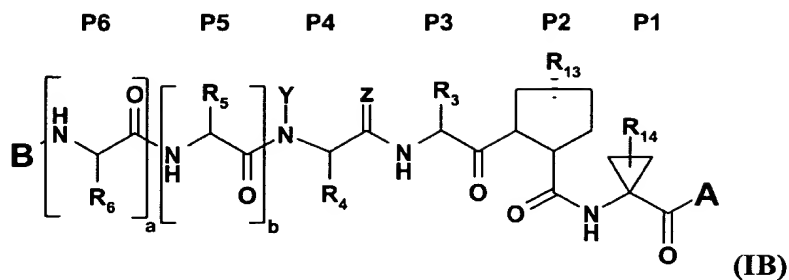
B, a, b, R<sub>6</sub>, R<sub>5</sub>, Y, R<sub>4</sub>, Z, R<sub>3</sub>, and A are as defined in claim 1,

R<sub>13</sub> is R<sub>12</sub>, OR<sub>12</sub>, C(O)OR<sub>12</sub>, SR<sub>12</sub>, NHR<sub>12</sub> or NR<sub>12</sub>R<sub>12a</sub> wherein R<sub>12</sub> and R<sub>12a</sub> are as defined in claim 1; and

R<sub>14</sub> is C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl optionally substituted with halogen; C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl optionally substituted with halogen; or a non-toxic salt or ester thereof.

46. (Original) The compound of formula IB according to claim 45, wherein B is R<sub>11</sub>-SO<sub>2</sub> wherein R<sub>11</sub> is C<sub>6</sub> or C<sub>10</sub> aryl, a C<sub>7-16</sub> aralkyl or Het all optionally substituted with C<sub>1-6</sub> alkyl.

47. (previously amended) A compound of formula IB :



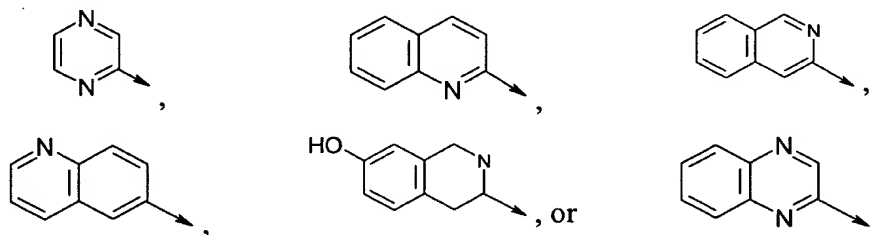
wherein B is an acyl derivative of formula  $R_{11}C(O)-$  wherein  $R_{11}$  is  $C_{1-6}$  alkyl;  $C_{1-6}$  alkoxy;  $C_{3-7}$  cycloalkyl optionally substituted with hydroxy; amido optionally substituted with  $C_{1-6}$  alkyl or Het;  $C_6$  or  $C_{10}$  aryl,  $C_{7-16}$  aralkyl or Het all optionally substituted with  $C_{1-6}$  alkyl or hydroxy;

a, b, R<sub>6</sub>, R<sub>5</sub>, Y, R<sub>4</sub>, Z, R<sub>3</sub>, and A are as defined in claim 1,

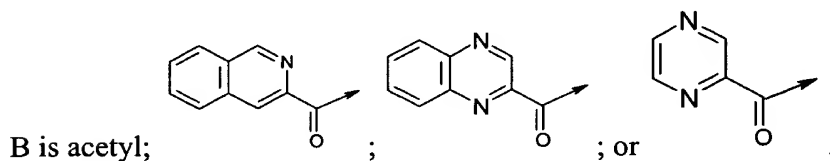
R<sub>13</sub> is R<sub>12</sub>, OR<sub>12</sub>, C(O)OR<sub>12</sub>, SR<sub>12</sub>, NHR<sub>12</sub> or NR<sub>12</sub>R<sub>12a</sub> wherein R<sub>12</sub> and R<sub>12a</sub> are as defined in claim 1; and

R<sub>14</sub> is C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl optionally substituted with halogen; C<sub>6-10</sub> aryl or C<sub>7-10</sub> aralkyl optionally substituted with halogen; or a non-toxic salt or ester thereof.

48. (previously amended) The compound of formula IB according to claim 47, wherein B is  $R_{11}C(O)-$  wherein  $R_{11}$  is  $C_{1-6}$  alkyl,



49. (previously amended) The compound of formula IB according to claim 48, wherein





50. (Original) The compound of formula IB according to claim 45, wherein  $R_{13}$  is o-tolylmethoxy; m-tolylmethoxy; p-tolylmethoxy; (4-tert-butyl)methoxy; (3I-Ph)CH<sub>2</sub>O; (4Br-Ph)O; (2Br-Ph)O; (3Br-Ph)O; (4I-Ph)O; (3Br-Ph)CH<sub>2</sub>O; (3,5-Br<sub>2</sub>-Ph)CH<sub>2</sub>O; or  $R_{13}$  is OR<sub>12</sub> or SR<sub>12</sub> wherein  $R_{12}$  is C<sub>6</sub> or C<sub>10</sub> aryl, C<sub>7-16</sub> aralkyl or Het, all optionally substituted with C<sub>1-6</sub> alkyl, C<sub>3-7</sub> cycloalkyl, C<sub>1-6</sub> alkoxy, acetylamido, nitro, CF<sub>3</sub>, NH<sub>2</sub>, OH, SH, halo, carboxyl, carboxy(lower)alkyl or a second aryl or aralkyl.

51. (Original) The compound of formula IB according to claim 50, wherein  $R_{13}$  is 1-naphthyloxy; 2-naphthyloxy; 1-naphthylmethoxy; 2-naphthylmethoxy; 2-, 3-, 4-, or 6-quinolinoxy, all optionally substituted.

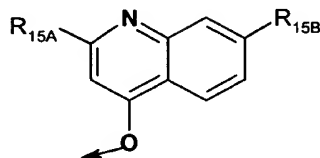
52. (Original) The compound of formula IB according to claim 51, wherein  $R_{13}$  is 1-naphthyloxy; 2-naphthyloxy; 1-naphthylmethoxy; 2-naphthylmethoxy; or substituted 4-quinolinoxy.

53. (Original) The compound of formula IB according to claim 52, wherein  $R_{13}$  is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted, mono- or di-substituted with  $R_{15}$  wherein  $R_{15}$  is C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkoxy; amino; mono- or di-(lower alkyl)amino; amido optionally mono-substituted with C<sub>1-6</sub> alkyl, C<sub>6</sub> or C<sub>10</sub> aryl, C<sub>7-16</sub> aralkyl, Het or (lower alkyl)-Het; NO<sub>2</sub>; OH; halo; trifluoromethyl; carboxyl; C<sub>6</sub> or C<sub>10</sub> aryl, C<sub>7-16</sub> aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with  $R_{16}$ , wherein  $R_{16}$  is C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; NO<sub>2</sub>; OH; halo; trifluoromethyl; or carboxyl.

54. (previously amended) The compound of formula IB according to claim 53, wherein  $R_{13}$  is 1-naphthylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted

with R<sub>15</sub> as defined in claim 53.

55. (Original) The compound of formula IB according to claim 54, wherein R<sub>13</sub> is :

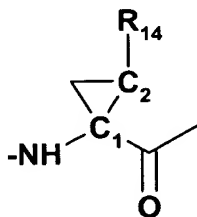


wherein R<sub>15A</sub> is amido optionally mono-substituted with C<sub>1-6</sub> alkyl, C<sub>6</sub> or C<sub>10</sub> aryl, C<sub>7-16</sub> aralkyl or Het; or C<sub>6</sub> or C<sub>10</sub> aryl or Het optionally substituted with R<sub>16</sub>, R<sub>15B</sub> is C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; NO<sub>2</sub>; OH; halo; trifluoromethyl; or carboxyl, and R<sub>16</sub> is amino; di(lower alkyl)amino; or (lower alkyl)amide.

56. (Original) The compound of formula IB according to claim 55, wherein R<sub>15A</sub> is C<sub>6</sub> or C<sub>10</sub> aryl or Het, all optionally substituted with R<sub>16</sub>, R<sub>15B</sub> is C<sub>1-6</sub> alkoxy; or di(lower alkyl)amino, and R<sub>16</sub> is as defined in claim 55.

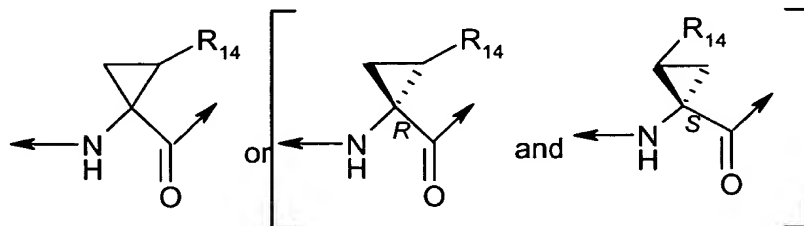
57. (Original) The compound of formula IB according to claim 56, wherein R<sub>15A</sub> is C<sub>6</sub> or C<sub>10</sub> aryl or Het, all unsubstituted, R<sub>15B</sub> is methoxy, and R<sub>16</sub> is amino; dimethylamino; or acetamido.

58. (previously amended) The compound of formula IB according to claim 45, wherein P1 is a cyclopropyl ring system of formula:

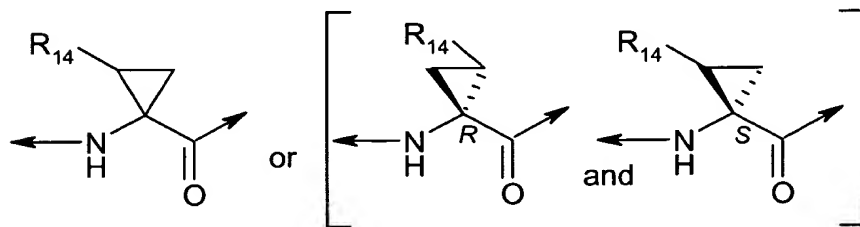


wherein R<sub>14</sub> is as defined in claim 45.

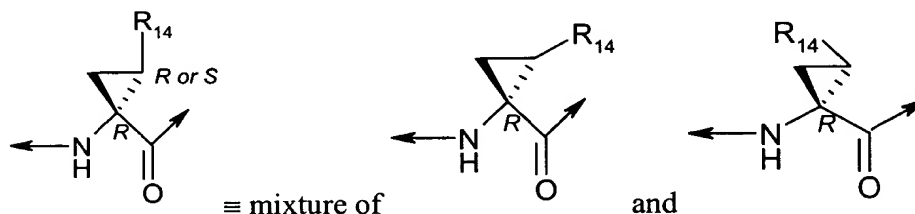
59. (presently amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of ~~diastereoisomers~~ two stereoisomers wherein R<sub>14</sub> at position 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



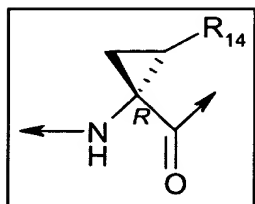
60. (presently amended) The compound of formula IB according to claim 58, wherein P1 exists as a racemic mixture of ~~diastereoisomers~~ two stereoisomers wherein R<sub>14</sub> at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:



61. (previously amended) The compound of formula IB according to claim 58, wherein the C<sub>1</sub> carbon atom has the *R* configuration:



62. (Original) The compound of formula IB according to claim 61, wherein said R<sub>14</sub> substituent and said carbonyl are in *syn* orientation in the following absolute configuration:

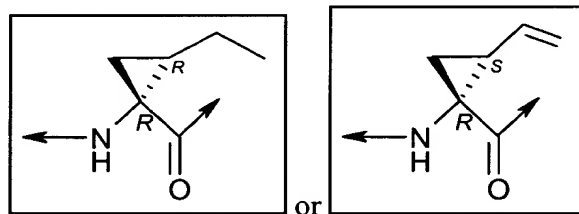


63. (previously amended) The compound of formula IB according to claim 61, wherein said  $R_{14}$  is methyl, ethyl, propyl, vinyl, allyl, benzyl, phenylethyl or phenylpropyl, each of which is optionally substituted with halo.

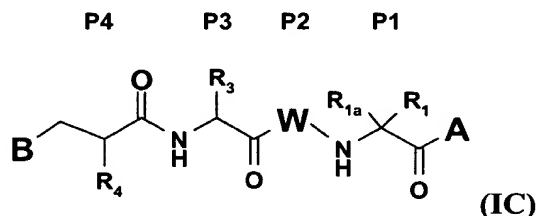
64. (Original) The compound of formula IB according to claim 61, wherein  $R_{14}$  is ethyl, propyl, vinyl, bromovinyl or allyl.

65. (previously amended) The compound of formula IB according to claim 64, wherein  $R_{14}$  is ethyl, vinyl or bromovinyl.

66. (Original) The compound of formula IB according to claim 61, wherein P1 is



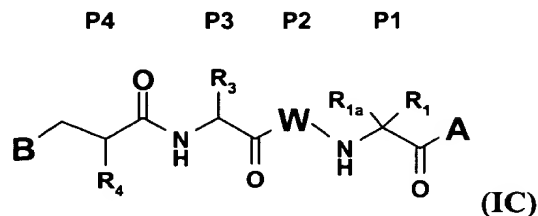
67. (previously amended) A compound of formula IC or a racemate, a diastereoisomer or an optical isomer thereof:



wherein B is as defined in claim 1, paragraph a);

$R_4$ ,  $R_3$ , W,  $R_{1a}$ ,  $R_1$ , and A are as defined in claim 1.

68. (previously amended) A compound of formula IC :



wherein B is an amide of formula  $R_{11a}N(R_{11b})C(O)-$  wherein  $R_{11a}$  is  $C_{1-6}$  alkyl;  $C_{3-6}$  cycloalkyl;  $C_{3-7}$  (alkylcycloalkyl) optionally substituted with carboxy;  $C_{1-3}$  carboxyalkyl;  $C_6$  aryl;  $C_{7-10}$  arylalkyl; 2-tetrahydrofuranylmethyl; or 2-thiazolidymethyl; and  $R_{11b}$  is  $C_{1-4}$  alkyl substituted with carboxyl;

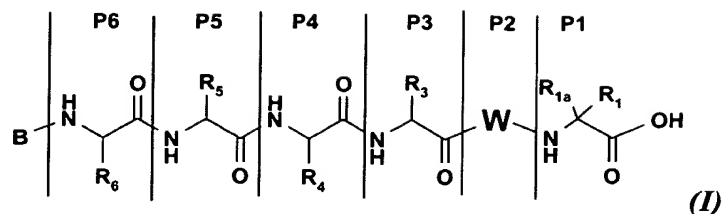
$R_4$ ,  $R_3$ , W,  $R_{1a}$ ,  $R_1$ , and A are as defined in claim 1.

69. (Original) The compound of formula (IC) according to claim 68, wherein  $R_{11a}$  is cyclopropylmethyl, isopropyl, carboxyethyl, benzylmethyl, benzyl, or 2-tetrahydrofuranylmethyl.

70. (Original) The compound of formula (IC) according to claim 69, wherein  $R_{11b}$  is  $C_{1-4}$  alkyl substituted with carboxyl.

71. (Original) The compound of formula (IC) according to claim 70, wherein  $R_{11b}$  is ethyl carboxyl.

72. (previously amended) A compound of formula (I):

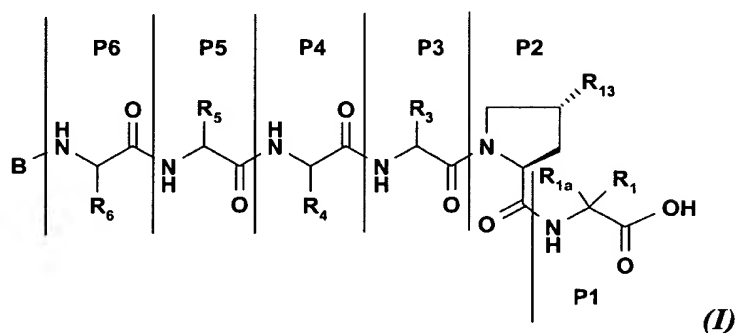


wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

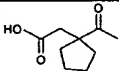
Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
101	Ac	Asp	Asp	Ile	Val	Pro	Cys;	8
102	Ac	Glu	Asp	Ile	Val	Pro	Cys;	9
103	DAD	---	Asp	Ile	Val	Pro	Cys;	10
104	Ac	Asp	D-Asp	Ile	Val	Pro	Cys;	-
105	Ac	Asp	D-Glu	Ile	Val	Pro	Cys;	-
106	Ac	Asp	Glu	Ile	Val	Pro	Cys;	11
107	Ac	Asp	Val	Ile	Val	Pro	Cys;	12
108	Ac	Asp	Tbg	Ile	Val	Pro	Cys;	13
109	Ac	Asp	Asp	Val	Val	Pro	Cys;	14
110	Ac	Asp	Asp	Chg	Val	Pro	Cys;	15
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys;	16
112	Ac	Asp	Asp	Leu	Val	Pro	Cys;	17
113	Ac	Asp	Asp	Ile	Ile	Pro	Cys;	18
114	Ac	Asp	Asp	Ile	Chg	Pro	Cys;	19
115	Ac	Asp	Asp	Ile	Val	Abu	Cys;	20
116	Ac	Asp	Asp	Ile	Val	Leu	Cys;	21
117	Ac	Asp	Asp	Ile	Val	Phe	Cys;	22
118	Ac	Asp	Asp	Ile	Val	Val	Cys;	23
119	Ac	Asp	Asp	Ile	Val	Ile	Cys;	24
120	Ac	Asp	Asp	Ile	Val	Ala	Cys;	25

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
121	Ac	Asp	Asp	Ile	Val	Hyp(4-Bn)	Cys;	26
122	Ac	Asp	Asp	Ile	Val	Pro	Abu;	27
123	Ac	Asp	Asp	Ile	Val	Pro	Nva;	28
124	Ac	Asp	Asp	Ile	Val	Pro	AlGly;	29
125	Ac	Asp	Asp	Ile	Val	Pro	Acpe;	30
126	Ac	Asp	Asp	Ile	Val	Pro	Acca;	31
127	Ac	Asp	Asp	Ile	Val	Pip	Nva;	32
128	Ac	Asp	D-Glu	Ile	Val	Pro	Nva;	-
129	Ac	Asp	Tbg	Ile	Val	Pro	Nva;	33
130	DAD	---	Asp	Ile	Val	Pro	Nva;	34
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys;	35
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca;	-
and								36
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca.	

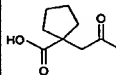
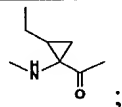
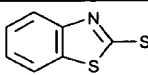
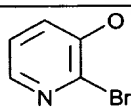
73. (previously amended) A compound of formula (I):

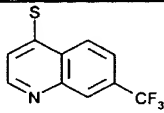
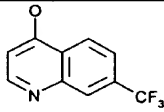
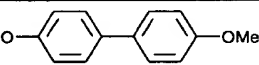
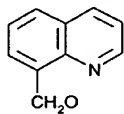
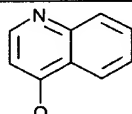
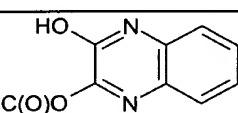
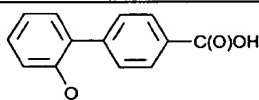
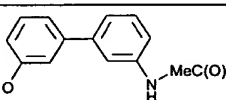
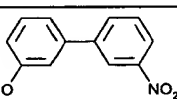


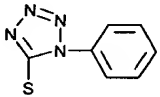
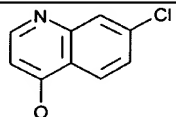
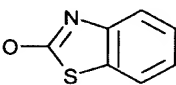
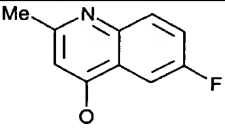
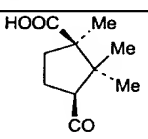
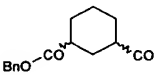
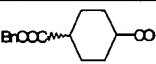
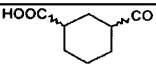
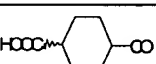
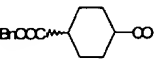
wherein B, P6, P5, P4, P3, R<sub>13</sub> and P1 are as defined below, said compound selected from the group consisting of:

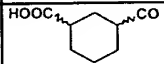
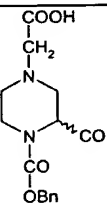
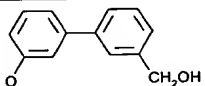
Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	P1	SEQ ID NO.
201	Ac	Asp	Asp	Ile	Val	O-Bn	Nva;	37
202	Ac	Asp	D-Val	Ile	Val	O-Bn	Nva;	-
203	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	-
204	Ac	Asp	Asp	Ile	Val	o-tolyl-methoxy	Nva;	38
205	Ac	Asp	Asp	Ile	Val	m-tolyl-methoxy	Nva;	39
206	Ac	Asp	Asp	Ile	Val	p-tolyl-methoxy	Nva;	40
207	Ac	Asp	Asp	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	41
208	Ac	Asp	Asp	Ile	Val	2-NpCH <sub>2</sub> O	Nva;	42
209	Ac	Asp	Asp	Ile	Val	4-tert-butyl-phenyl)- methoxy	Nva;	43
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys;	-
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva;	-
212	Ac	Asp	D-Glu	Ile	Val	O-Bn	Acca;	-
213	Ac	Asp	D-Glu	Ile	Val	2-NpCH <sub>2</sub> O	Nva;	-
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH <sub>2</sub> O	Nva;	-
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-
216	Ac	Asp	Asp	Ile	Val	Bn	Nva;	44
217	Ac	Asp	Asp	Ile	Val	Ph(CH <sub>2</sub> ) <sub>3</sub>	Nva;	45
218	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	-
219	Ac	---	Asp	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	46
220	DAD	---	---	N(Me)Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
221	DAD	---	---	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
222	DAE	---	---	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
223		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-



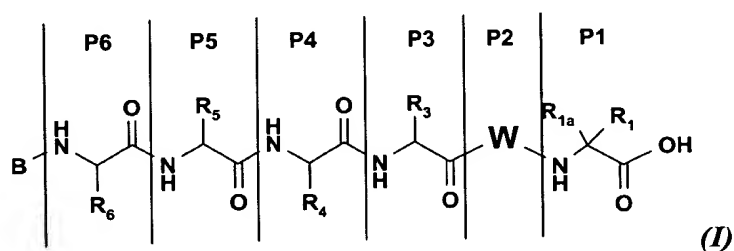
Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	P1	SEQ ID NO.
224		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
225	Ac	---	---	Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
226	DAE	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-
227	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-
228	Ac	---	---	Chg	Val	O-Bn	 ;	-
230	Ac	Asp	Asp	Ile	Val	Ph(CH <sub>2</sub> ) <sub>3</sub>	Nva;	47
231	Ac	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Acca;	-
232	AcOCH <sub>2</sub> - C(O)	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Acca;	-
233	Ac	Asp	Glu	Ile	Val	(3I-Ph) CH <sub>2</sub> O	Acca;	48
234	Ac	---	---	Chg	Chg	O-Bn	Acca;	-
235	Boc	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Acca;	-
236	Ac	---	Gly	thioxo-Ile	Val	1-NpCH <sub>2</sub> O	Nva;	-
237	DAE	---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
238	Ac	---	---	Chg	Val	(4Br-Ph)O	Acca;	-
239	Ac	---	---	Chg	Val	(2Br-Ph)O	Acca;	-
240	Ac	---	---	Chg	Val	(3Br-Ph)O	Acca;	-
241	Ac	---	---	Chg	Val		Acca;	-
242	Ac	---	---	Chg	Val	(4Br-Ph)S	Acca;	-
243	Ac	---	---	Chg	Val		Acca;	-

Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	P1	SEQ ID NO.
244	Ac	---	---	Chg	Val		Acca;	-
245	Ac	---	---	Chg	Val		Acca;	-
246	Ac	---	---	Chg	Val		Acca;	-
247	Ac	Asp	Asp	Ile	Val	Ph(CH <sub>2</sub> ) <sub>2</sub>	Nva;	49
248	Ac	---	---	Chg	Chg		Acca;	-
249	Ac	---	---	Chg	Val	(4I-Ph)O	Acca;	-
250	Ac	---	---	Chg	Val		Acca;	-
251	Ac	---	---	Chg	Val		Acca;	-
252	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Nva;	-
253	Ac	---	---	Chg	Val		Acca;	-
254	Ac	---	---	Chg	Val		Acca;	-
255	Ac	---	---	Chg	Val		Acca;	-

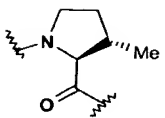
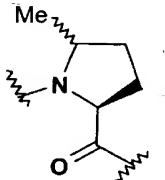
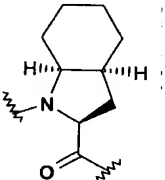
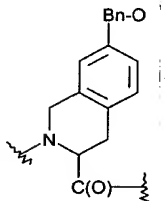
Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	P1	SEQ ID NO.
256	Ac	---	---	Chg	Val		Acca;	-
257	Ac	---	---	Chg	Val		Acca;	-
258	Ac	---	---	Chg	Val		Acca;	-
259	Ac	---	---	Chg	Val		Acca;	-
260	Ac	Asp	D-Glu	Ile	Val	O-Bn	Cys;	-
261	Ac	---	---	Chg	Val	O-Bn	Cys;	-
262	Ac	---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
263		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
264		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
265		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
266		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
267		---	---	Ile	Val	1-NpCH <sub>2</sub> O	Acca;	-
268	Ac	---	---	Chg	Val	(3Br-Ph)CH <sub>2</sub> O	Acca;	-
269		---	---	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-

Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	P1	SEQ ID NO.
270		---	---	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-
271		---	---	Chg	Val	1-NpCH <sub>2</sub> O	Acca;	-
272	Ac	---	---	Chg	Val	(3,5-Br <sub>2</sub> -Ph)CH <sub>2</sub> O	Acca;	-
273	Ac	Asp	Asp	Ile	Val	H	Nva;	50
274	Ac	Asp	D-Val	Ile	Val	H	Cys;	-
and 275	Ac	---	---	Chg	Val		Acca.	-

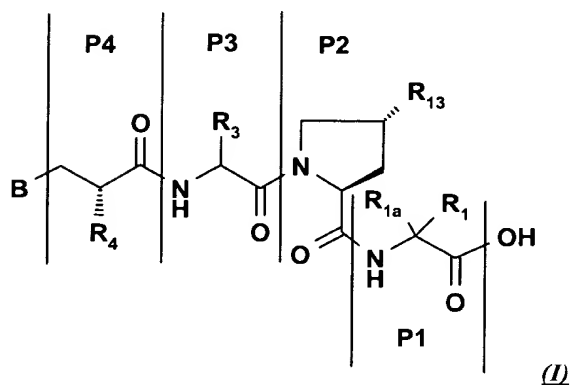
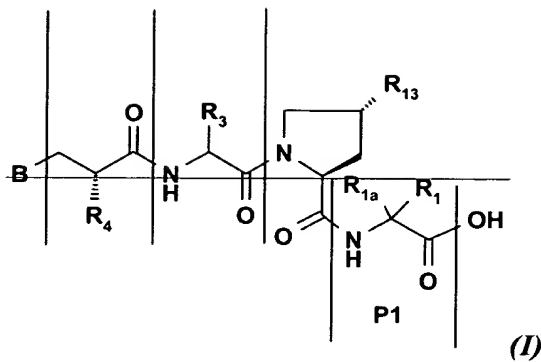
74. (previously amended) A compound of formula (I):



wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

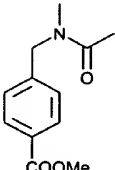
Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
301	Ac	Asp	Asp	Ile	Val		Nva;	51
302	Ac	Asp	Asp	Ile	Val		Nva;	52
303	Ac	Asp	Asp	Ile	Val		Nva;	53
and 304	Ac	---	---	Chg	Val		Acca.	-

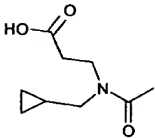
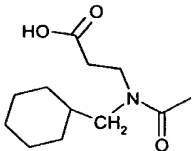
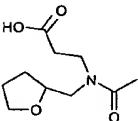
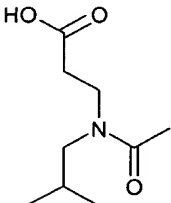
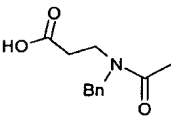
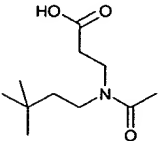
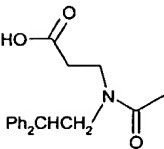
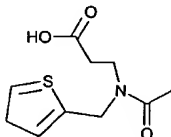
75. (presently amended) A compound of formula (I):



wherein B, R<sub>4</sub>, P<sub>3</sub>, R<sub>13</sub>, and P<sub>1</sub> are as defined below, said compound selected from the group consisting of:

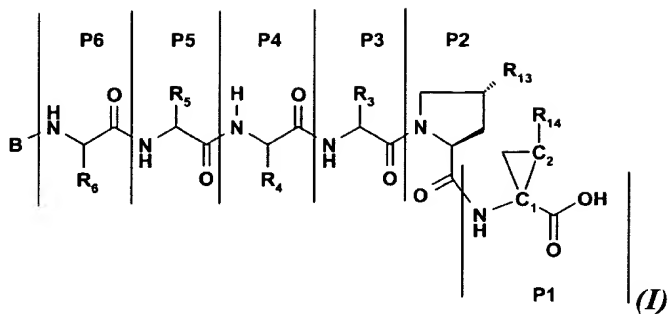
Comp.	B	R <sup>4</sup>	P <sub>3</sub>	R <sub>13</sub>	P <sub>1</sub>
401		cyclohexyl	Val	1-NpCH <sub>2</sub> O	Acca;
402		cyclohexyl	Val	1-NpCH <sub>2</sub> O	Acca;
403		cyclohexyl	Val	1-NpCH <sub>2</sub> O	Acca;

404		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
405	HOOC- CH <sub>2</sub> CH <sub>2</sub> - N(Me)C(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
406	MeOOC-CH <sub>2</sub> - CH <sub>2</sub> - N(Me)c(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
407	HOOC- CH <sub>2</sub> CH <sub>2</sub> - N(Me) <sub>2</sub> -C(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
408	MeOOC-(CH <sub>2</sub> ) <sub>2</sub> - N(Me) <sub>2</sub> -C(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
409	HOOC-CH <sub>2</sub> - N(Me) <sub>2</sub> -C(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
410	EtOOC-CH <sub>2</sub> - N(Me) <sub>2</sub> -C(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
411	[HOOC- (CH <sub>2</sub> ) <sub>2</sub> ] <sub>2</sub> -NH- CH <sub>2</sub> -	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
412	[HOOC-CH <sub>2</sub> ] <sub>2</sub> - NC(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
413	[HOOC- (CH <sub>2</sub> ) <sub>2</sub> ] <sub>2</sub> -NC(O)-	cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;

414		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
415		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
416		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
417		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
418		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
419		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
420		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca;
and 421		cyclohexyl Val	1-NpCH <sub>2</sub> O Acca.

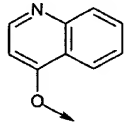
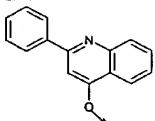
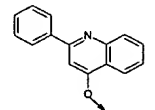
76. (previously amended) A compound of formula (I):



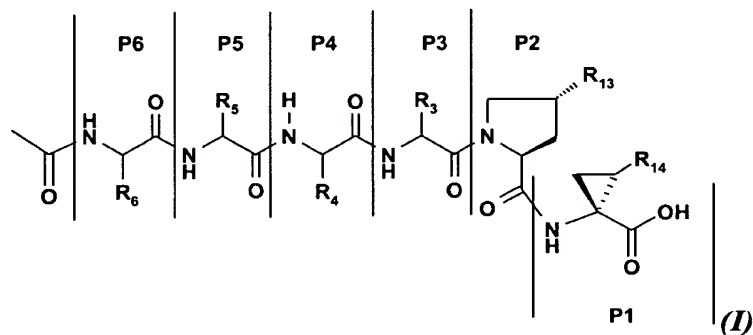


wherein B, P6, P5, P4, P3, R<sub>13</sub>, R<sub>14</sub> and P1 are as defined below, said compound selected from the group consisting of:

Tab 5 Cpd	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	P1 C <sub>1</sub> - C <sub>2</sub>
501	Ac	---	---	Chg	Val	OBn	Et	1R, 2R
502	Ac	---	---	Chg	Val	OBn	Et	1R, 2?
503	Ac	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Et	1R, 2?
504	Ac	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Et	1R, 2?
505	Ac	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Et	1R, 2R
506	Ac	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	Et	1S, 2S
507	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Me	1R, 2?
508	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	CHMe <sub>2</sub>	1R, 2?
509	Ac	Asp	D-Glu	Chg	Chg	1-NpCH <sub>2</sub> O	Et	1R, 2R
510	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	CH <sub>2</sub> O CH <sub>2</sub> Ph	1R, 2?
511	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	CH <sub>2</sub> O CH <sub>2</sub> Ph	1R, 2?
512	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	(CH <sub>2</sub> ) <sub>2</sub> Ph	1R, 2?
513	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Et	1R, 2R

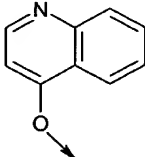
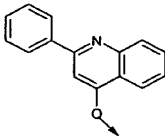
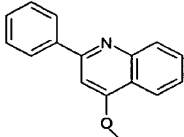
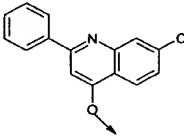
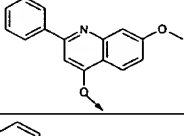
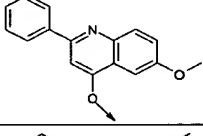
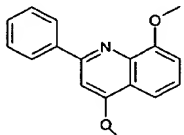
Tab 5 Cpd	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	P1 C <sub>1</sub> - C <sub>2</sub>
514	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Et	1 <i>S</i> ,2 <i>S</i>
515	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Bz	1 <i>R</i> , 2?
516	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Bz	1 <i>R</i> , 2?
517	Ac	Asp	D-Glu	Ile	Val	OBn	Et	1 <i>R</i> ,2 <i>R</i>
518	Ac	Asp	D-Glu	Chg	Val	1-NpCH <sub>2</sub> O	Et	1 <i>R</i> ,2 <i>R</i>
519	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Pr	1 <i>R</i> , 2?
520	Ac	---	---	Chg	Val	1-NpCH <sub>2</sub> O	Pr	1 <i>R</i> , 2?
521	Ac	Asp	D-Val	Chg	Val	1-NpCH <sub>2</sub> O	Et	1 <i>R</i> ,2 <i>R</i>
522	Ac	---	---	Chg	Val		vinyl	1 <i>S</i> ,2 <i>R</i>
523	Ac	---	---	Chg	Val		ethyl	1 <i>R</i> ,2 <i>S</i>
524	Ac	---	---	Chg	Val		propyl	1 <i>R</i> , 2 <i>R</i>

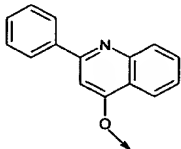
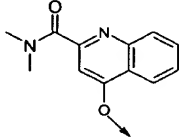
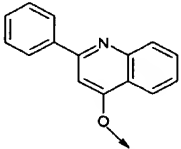
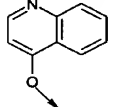
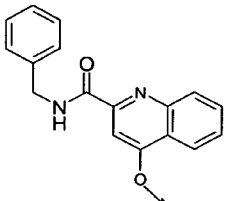
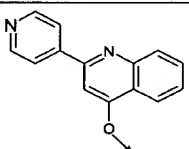
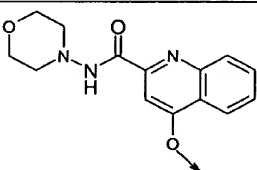
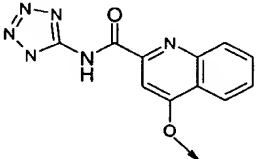
77. (Original) A compound of formula (I):

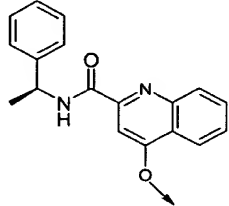
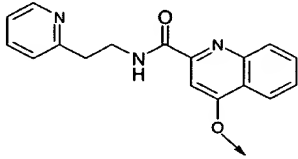
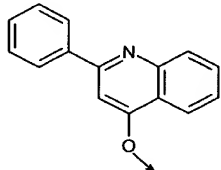
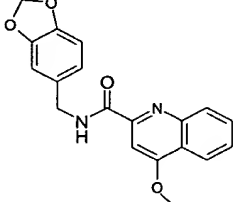
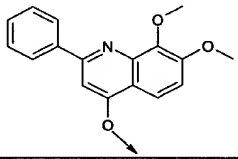
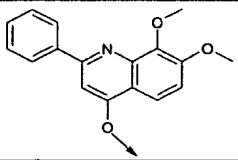
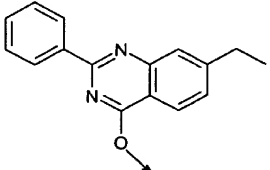


wherein P6, P5, P4, P3, R<sub>13</sub>, and R<sub>14</sub> are as defined below, said compound selected from

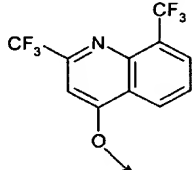
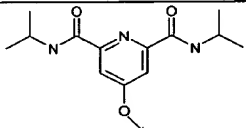
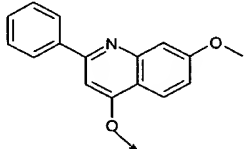
the group consisting of:

Tab 6 Cpd#	P6	P5	P4	P3	R <sub>13</sub>	R <sub>1</sub>
601	---	---	Chg	Val	OBn	CH=CH <sub>2</sub>
602	---	---	Chg	Chg	1-NpCH <sub>2</sub> O	CH=CH <sub>2</sub>
603	---	---	Chg	Val	1-NpCH <sub>2</sub> O	CH=CH <sub>2</sub>
604	---	---	Chg	Val	OBn	CH=CHBr*
605	---	---	Chg	Val		CH=CH <sub>2</sub>
606	---	---	Chg	Val		CH=CH <sub>2</sub>
607	---	---	Chg	Tbg		CH=CH <sub>2</sub>
608	---	---	Chg	Val		CH=CH <sub>2</sub>
609	---	---	Chg	Val		CH=CH <sub>2</sub>
610	---	---	Chg	Val		CH=CH <sub>2</sub>
611	---	---	Chg	Val		CH=CH <sub>2</sub>

Tab 6 Cpd#	P6	P5	P4	P3	R <sub>13</sub>	R <sub>1</sub>
612	Asp	D-Glu	Chg	Val		CH=CH <sub>2</sub>
613	---	---	Chg	Val		CH=CH <sub>2</sub>
614	---	---	Chg	Val		ethyl
615	---	---	Val	Chg		CH=CH <sub>2</sub>
616	---	---	Chg	Val		CH=CH <sub>2</sub>
617	---	---	Chg	Val		CH=CH <sub>2</sub>
618	---	---	Chg	Val		CH=CH <sub>2</sub>
619	---	---	Chg	Val		CH=CH <sub>2</sub>

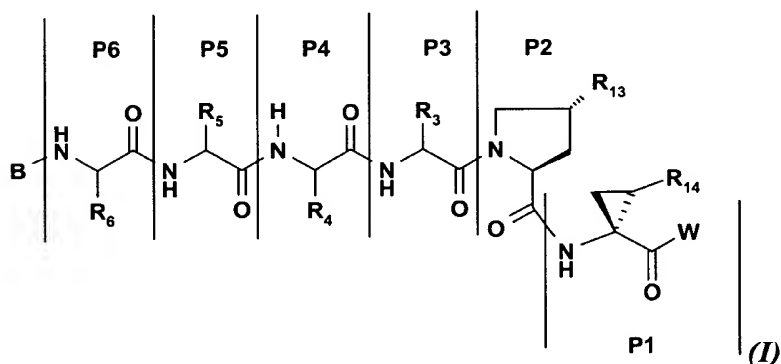
Tab 6 Cpd#	P6	P5	P4	P3	R <sub>13</sub>	R <sub>1</sub>
620	---	---	Chg	Val		CH=CH <sub>2</sub>
621	---	---	Chg	Val		CH=CH <sub>2</sub>
622	Asp	D-Glu	Chg	Tbg		CH=CH <sub>2</sub>
623	---	---	Chg	Val		CH=CH <sub>2</sub>
624	---	---	Chg	Tbg		CH=CH <sub>2</sub>
625	---	---	Chg	Val		CH=CH <sub>2</sub>
626	---	---	Chg	Val		CH=CH <sub>2</sub>

Tab 6 Cpd#	P6	P5	P4	P3	R <sub>13</sub>	R <sub>1</sub>
627	---	---	Chg	Val		CH=CH <sub>2</sub>
628	---	---	Chg	Tbg		CH=CH <sub>2</sub>
629	---	---	Chg	Val		CH=CH <sub>2</sub>
630	---	---	Chg	Val		CH=CH <sub>2</sub>
631	---	---	Chg	Tbg		CH=CH <sub>2</sub>
632	---	---	Chg	Tbg		CH=CH <sub>2</sub>
633	---	---	Chg	Tbg		CH=CH <sub>2</sub>
634	---	---	Chg	Tbg		CH=CH <sub>2</sub>

Tab 6 Cpd#	P6	P5	P4	P3	R <sub>13</sub>	R <sub>1</sub>
635	---	---	Chg	Val		vinyl
636	Asp	D-Glu	Ile	Val	O-Bn	vinyl
637	---	---	Chg	Val		vinyl
638	Asp	D-Glu	Chg	Tbg		vinyl

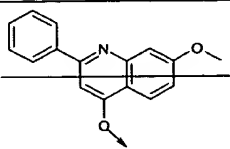
\* Br isomer ratio 5.5:2

78. (presently amended) A compound of formula (I):

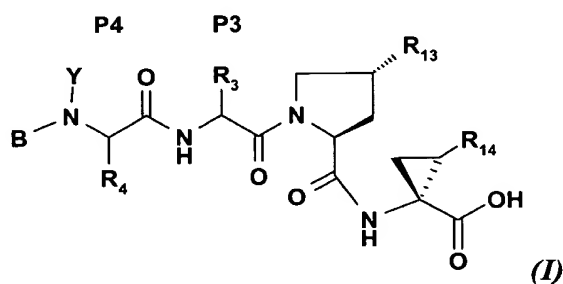


wherein B, P<sub>6</sub>, P<sub>5</sub>, P<sub>4</sub>, P<sub>3</sub>, R<sub>13</sub>, and R<sub>14</sub> are as defined below, said compound selected from the group consisting of:

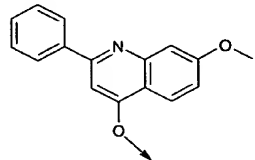
Tab 7 Cpd#	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	W
701	Ac	Asp	D-Glu	Ile	Val	OBn	Et	NH-(S)- CHMePh

Tab 7 Cpd#	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	W
and 702	Dnl	Asp	D-Glu	Chg	Tbg		vinyl	OH

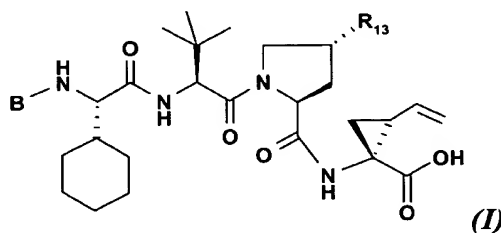
79. (presently amended) A compound of formula (I):



wherein B, Y, P4, P3, R<sub>13</sub>, and R<sub>14</sub> are as defined below, said compound selected from the group consisting of:

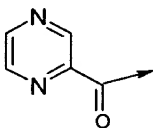
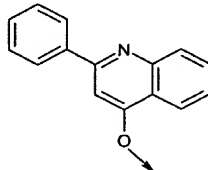
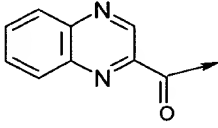
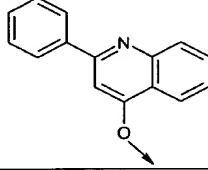
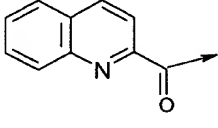
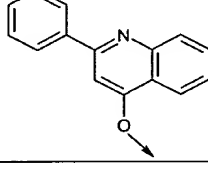
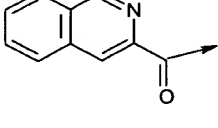
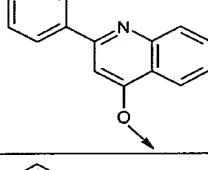
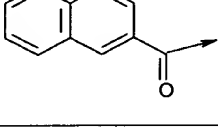
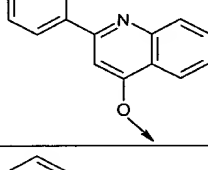
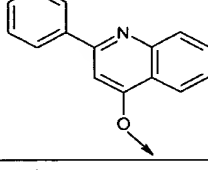
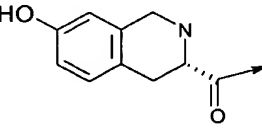
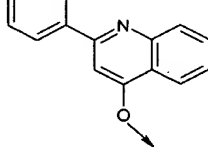
Tab 8 Cpd#	B	Y	P4	P3	R <sub>13</sub>	R <sub>14</sub>
801	Ac	Me	Chg	Tbg		vinyl

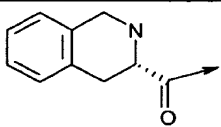
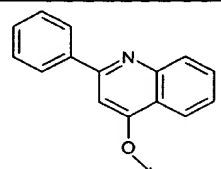
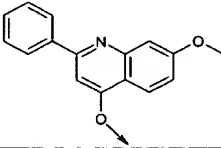
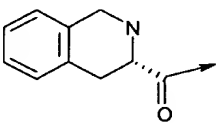
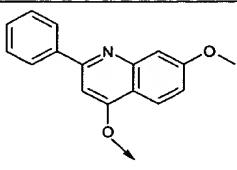
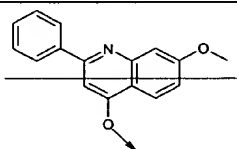
80. (presently amended) A compound of formula (I):



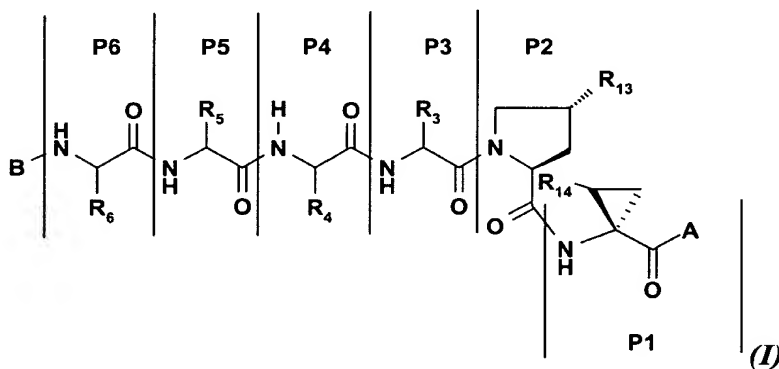
wherein B, and R<sub>13</sub> are as defined below, said compound selected from the group consisting of:



Tab 9 cpd#	B	R <sub>13</sub>
901		
902		
903		
904		
905		
906	H	
907		

Tab 9 cpd#	B	R <sub>13</sub>
908		
909	H	
910		
911	Dnl	

81. (Original) A compound of formula (I):



wherein B, P6, P5, P4, P3, R<sub>13</sub>, R<sub>14</sub>, P1 and A are as defined below, said compound selected from the group consisting of:

Tab. 10 Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	P1 C <sub>1</sub> - C <sub>2</sub>	A
1001	Ac	Asp	D-Glu	Ile	Val	OBn	Et	1S,2S	NH-(S)-

Tab. 10 Comp.	B	P6	P5	P4	P3	R <sub>13</sub>	R <sub>14</sub>	P1 C <sub>1</sub> - C <sub>2</sub>	A
									CHMePh
1002	Ac	Asp	D-Glu	Ile	Val	OBn	Et	1 <i>S</i> ,2 <i>S</i>	NH-( <i>R</i> )- CHMePh

82. (Original) A hexapeptide of formula I according to claim 76, selected from the group consisting of compound #:508; 516; 517; and 520.

83. (Original) A hexapeptide of formula I according to claim 77, selected from the group consisting of compound #: 612; 622; 636; and 638.

84. (Original) A hexapeptide of formula I according to claim 78, selected from the group consisting of compound #: 701 and 702.

85. (Original) A tetrapeptide of formula I according to claim 76 selected from the group consisting of compound #: 522; and 523.

86. (previously amended) A tetrapeptide of formula I according to claim 77, selected from the group consisting of compound #: 602; 603; 605; 606; 607; 608; 609; 610; 611; 614; 615; 616; 618; 619; 620; 621; 623; 624; 625; 626; 628; 629; 630; 631; 632; 633; 634 and 635.

87. (previously amended) A tetrapeptide of formula I according to claim 79, selected from the group consisting of compound #: 801.

88. (previously amended) A tetrapeptide of formula I according to claim 80, selected

from the group consisting of compound #: 901; 902; 903; 904; 905; 906; 907; 908; 909; 910; and 911.

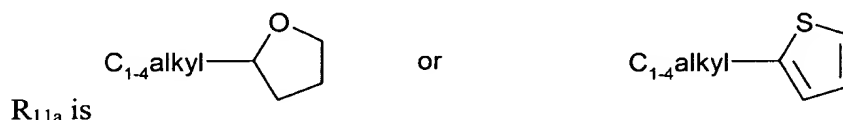
Claims 89 – 95 (cancelled)

96. (previously amended) A composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a non-toxic salt or ester thereof, in admixture with a non-toxic carrier medium or auxiliary agent.

Claims 97 – 98 (cancelled)

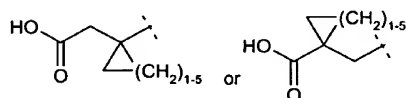
99. (previously amended) A combination comprising a compound of formula I according to claim 1, or a non-toxic salt or ester thereof, and an interferon in admixture with a non-toxic carrier medium or auxiliary agent.

100. (previously added) The compound of formula I according to claim 1, wherein



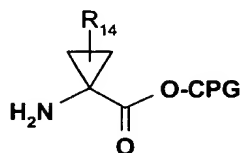
101. (previously added) The compound of formula I according to claim 1, wherein  $R_{11}$  is  $\text{AcOCH}_2$ - or *tert*-butoxy.

102. (previously added) The compound of formula I according to claim 1, wherein  $R_{11}$  is

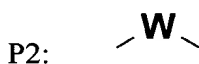
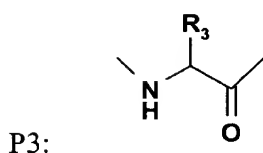
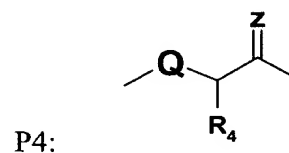
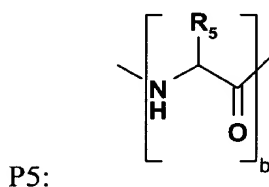
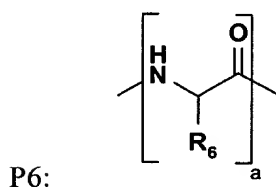


103. (presently amended) A process for the preparation of a peptide compound of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the steps of:

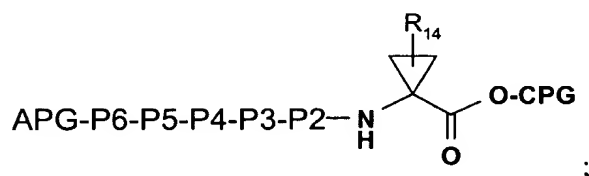
(1) coupling a peptide of the formula: APG-P6-P5-P4-P3-P2-OH with a P1 intermediate of formula:



wherein  $R_{14}$  is  $C_{1-6}$  alkyl or  $C_{2-6}$  alkenyl optionally substituted with halogen, APG is an amino protecting group, CPG is a carboxyl protecting group and P6 to P2 are as defined below:

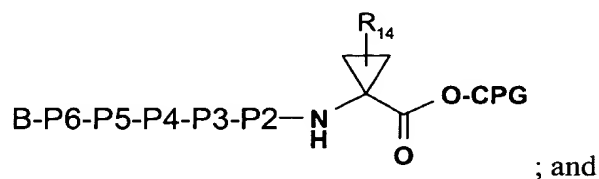


wherein W,  $R_3$ ,  $R_4$ , Z, Q,  $R_5$ ,  $R_6$ , a and b are as defined in Claim 1, to obtain a compound of the following formula:

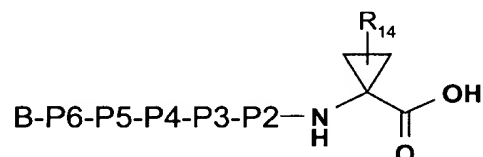


(2) cleaving the APG in the compound obtained in step (1) and reacting the

resulting unprotected product with a compound of the formula B-Cl wherein B is as defined in claim 1 to obtain a compound of the following formula:



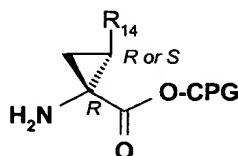
(3) cleaving the CPG in the compound obtained in step (2) ~~to obtain and isolating~~ a compound of formula (I) according to claim 1 having the following formula:



and wherein one or more of the side-chain functionalities in groups P2, P3, P4, P5 and P6 may be protected and deprotected as is necessary during the process.

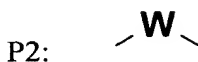
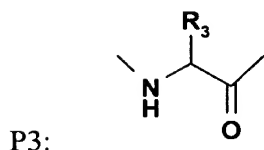
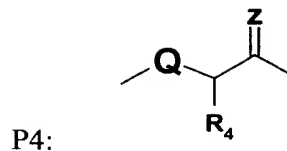
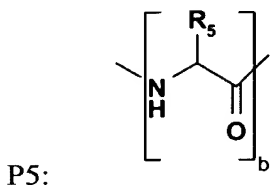
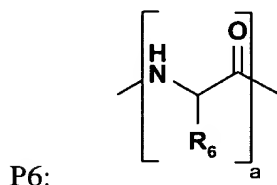
104. (presently amended) A process for the preparation of a peptide compound of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the steps of:

(1) coupling a peptide of the formula: APG-P6-P5-P4-P3-P2-OH with a P1 intermediate of formula:

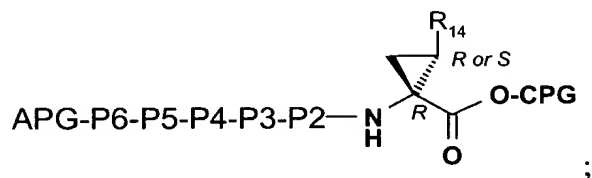


wherein R<sub>14</sub> is ethyl, vinyl or bromovinyl, APG is an amino protecting group, CPG is a

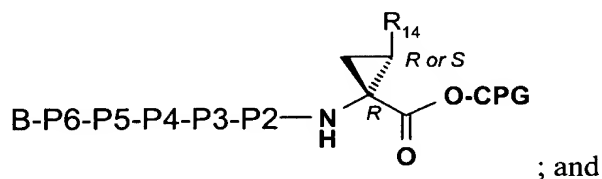
carboxyl protecting group and P6 to P2 are as defined below:



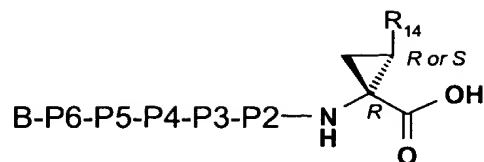
wherein W, R<sub>3</sub>, R<sub>4</sub>, Z, Q, R<sub>5</sub>, R<sub>6</sub>, a and b are as defined in Claim 1, to obtain a compound of the following formula:



(2) cleaving the APG in the compound obtained in step (1) and reacting the resulting unprotected product with a compound of the formula B-Cl wherein B is as defined in claim 1 to obtain a compound of the following formula:



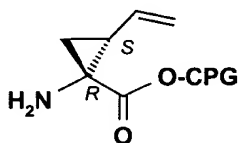
(3) cleaving the CPG in the compound obtained in step (2) ~~to obtain~~ and isolating a compound of formula (I) according to claim 1 having the following formula:



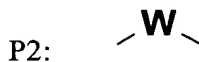
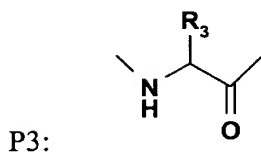
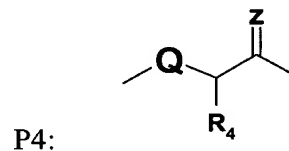
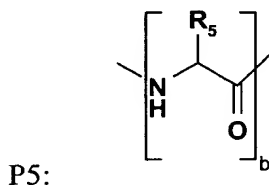
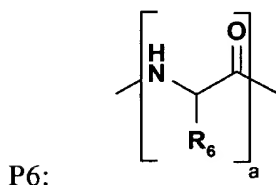
and wherein one or more of the side-chain functionalities in groups P2, P3, P4, P5 and P6 may be protected and deprotected as is necessary during the process.

105. (presently amended) A process for the preparation of a peptide compound of formula (I) according to claim 1, wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the steps of:

(1) coupling a peptide of the formula: APG-P6-P5-P4-P3-P2-OH with a P1 intermediate of formula:



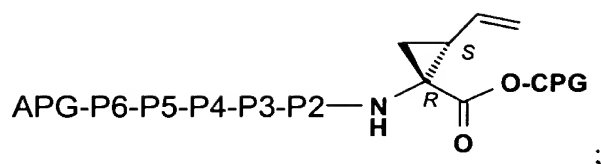
wherein APG is an amino protecting group, CPG is a carboxyl protecting group and P6 to P2 are as defined below:



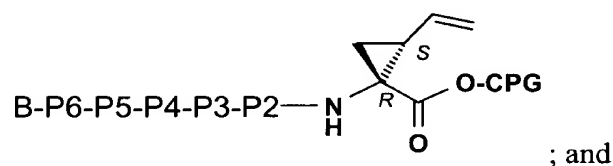
wherein W, R<sub>3</sub>, R<sub>4</sub>, Z, Q, R<sub>5</sub>, R<sub>6</sub>, a and b are as defined in Claim 1, to obtain a compound



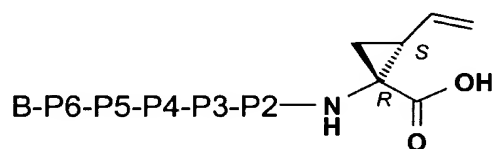
of the following formula:



(2) cleaving the APG in the compound obtained in step (1) and reacting the resulting unprotected product with a compound of the formula B-Cl wherein B is as defined in claim 1 to obtain a compound of the following formula:



(3) cleaving the CPG in the compound obtained in step (2) to obtain and isolating a compound of formula (I) according to claim 1 having the following formula:



and wherein one or more of the side-chain functionalities in groups P2, P3, P4, P5 and P6 may be protected and deprotected as is necessary during the process.

106. (presently amended) The process according to any one of claims 103 to 105 wherein said carboxyl protecting group (CPG) is selected from the group consisting of: alkyl-esters, aralkyl-esters, and esters-groups being cleavable by mild base treatment or mild reductive means.

107. (previously added) A method inhibiting hepatitis C nonstructural protein-3 protease (HCV NS3 protease) comprising contacting HCV NS3 protease with a

compound of claim 1 for a time and under conditions effective to inhibit HCV NS3 protease.

108. (previously added) A method of inhibiting hepatitis C nonstructural protein-3 protease (HCV NS3 protease) in a cell comprising contacting a cell containing HCV NS3 protease with a compound of claim 1 for a time and under conditions effective to inhibit HCV NS3 protease.

109. (previously added) A method of inhibiting hepatitis C nonstructural protein-3 protease (HCV NS3 protease) in a mammal infected with hepatitis C virus comprising administering a compound of claim 1 to said mammal for a time and under conditions effective to inhibit HCV NS3 protease.

110. (previously added) A method of inhibiting hepatitis C nonstructural protein-3 (HCV NS3 protease) in a human infected with hepatitis C virus comprising administering a compound of claim 1 to said human for a time and under conditions effective to inhibit HCV NS3 protease.

111. (previously added) A method of inhibiting replication of hepatitis C virus comprising contacting hepatitis C virus with a compound of claim 1 for a time and under conditions effective to inhibit hepatitis C nonstructural protein-3 (HCV NS3) protease.

112. (previously added) A method of inhibiting replication of hepatitis C virus in a mammal infected with hepatitis C virus comprising administering a compound of claim 1 to said mammal for a time and under conditions effective to inhibit hepatitis C nonstructural protein-3 (HCV NS3) protease.

113. (previously added) A method of inhibiting replication of hepatitis C virus in a human infected with hepatitis C virus comprising administering a compound of claim 1 to said human for a time and under conditions effective to inhibit hepatitis C nonstructural

protein-3 (HCV NS3) protease.

114. (presently amended) A combination according to claim 99, further comprising  
| ribavirin (1- $\beta$ -D-ribofuranosyl-1*H*-1,2,4-triazole-3-carboxamide).

115. (previously added) A combination comprising a compound of formula I according to claim 1, or a non-toxic salt or ester thereof, and ribavirin in admixture with a non-toxic carrier medium or auxiliary agent.